

CLAIMS

1. The use of a linear peptide coupled to an active substance for diagnosis or therapy of a disorder affecting the CNS for the preparation of a medicine capable of passing through the hemato-encephalic barrier to be used for diagnosis or therapy of a disorder localized in the CNS, the said peptide satisfying one of the following formulas (I), (II) or (III):

10 $X_1-X_2-X_3-X_4-X_5-X_6-X_7-X_8-X_9-X_{10}-X_{11}-X_{12}-X_{13}-X_{14}-X_{15}-X_{16}$ (I)

In formula (I), the residues X_1 to X_{16} are residues of amino acids, in which 6 to 10 of them are hydrophobic amino acids and X_6 is tryptophan,

BXXBXXXXBBBXXXXXXB (II)

15 BXXXXBXXBXXXXBBXB (III),

In formulas (II) and (III):

- groups B may be identical or different, and represent an amino acid residue for which the side chain carries a basic group, and

20 - groups X may be identical or different, and represent a residue of aliphatic or aromatic amino acid, or

the said peptides with formulas (I), (II), (III) in retro form, composed of amino acids with a D and/or L configuration, or a moiety of these acids composed of a sequence of at least 5 and preferably at least 7 successive amino acids of peptides with formulas (I), (II) or (III).

30 2. Use according to claim 1, characterized in that in peptides with formula type (I), the hydrophobic amino

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acids are alanine, valine, leucine, isoleucine, proline, phenylalanine, tryptophan, tyrosine and methionine, and the other amino acids are:

- non-hydrophobic, possibly non-polar amino acids
- 5 such as glycine, or polar such as serine, threonine, cysteine, asparagine, glutamine, or
- acid (aspartic or glutamic acid), or
- basic (lysine, arginine or histidine), or
- an association of amino acids in these three
- 10 categories.

3. Use according to one of claims 1 or 2, characterized in that the formula (I) type peptide includes 6 hydrophobic amino acids and 10 non-hydrophobic amino

15 acids.

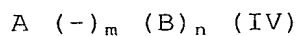
4. Use according to claim 1, characterized in that in the peptides in formula types (II) and (III):

- B is chosen among arginine, lysine, diaminoacetic
- 20 acid, diaminobutyric acid, diaminopropionic acid, ornithine and

- X is chosen among glycine, alanine, valine, norleucine, isoleucine, leucine, cysteine, cysteine^{AcM}, penicillamine, methionine, serine, threonine, asparagine,
- 25 glutamine, phenylalanine, histidine, tryptophan, tyrosine, proline, Abu, carboxylic amino-1-cyclohexane acid, Aib, carboxylic 2-aminotetraline, 4-bromophenylalanine, tert-Leucine, 4-chlorophenylalanine, beta-cyclohexylalanine, 3,4-dichlorophenylalanine, 4-
- 30 fluorophenylalanine, homoleucine, beta-homoleucine, homophenylalanine, 4-methylphenylalanine, 1-

naphthylalanine, 2-naphthylalanine, 4-nitrophenylalanine, 3-nitrotyrosine, norvaline, phenylglycine, 3-pyridylalanine and [2-thienyl]alanine.

5) The use of compounds according to the formula
5 (IV) below:



where

- A is a peptide as described above in one of claims
1 to 4,

10 - B is a substance active in diagnosis or therapy
for a disorder of the CNS,

- n is 1 or more, and preferably up to 10, and
advantageously up to 5,

- $(-)_m$ represents the linker between A and B, where m
15 is 1 or more, and preferably up to 10 and advantageously
up to 5,

for the preparation of a medicine capable of passing
through the hemato-encephalic barrier to be used in
diagnosis or therapy for a disorder localized in the CNS.

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6. Use according to claim 5, characterized in that in
formula (IV), the $(-)_m$ linker between A and B is a
covalent, hydrophobic or ionic linker, cleavable or non-
cleavable in physiological media or inside the cells, or
25 a mixture thereof.

7. Use according to one of claims 5 or 6, for the
preparation of a medicine intended for the treatment or
prevention of brain cancers, Alzheimer's disease,
30 Parkinson's disease, depression, pain, meningitis.